

Claims:

1. A pharmaceutical composition for oral absorption of a polar active substance, consisting essentially of:

5 (a) at least one polar active substance having a bioavailability of less than 30% which is poorly absorptive through lipid membranes because of its high hydrophilicity and charged ion.

(b) at least one organic alkalizing agent having an amino acid or polyol structure which shows alkalinity in aqueous solution and is ionically bonded to the
10 polar active substance; and

(c) at least one surfactant having a C₆₋₁₈ fatty acid structure which has an HLB (Hydrophilic-Lipophilic Balance) value of 4 to 18.

2. A pharmaceutical composition for oral absorption of a polar active
15 substance, consisting essentially of:

(a) at least one polar active substance having a bioavailability of less than 30% which is poorly absorptive through lipid membranes because of its high hydrophilicity and charged ion.

(b) at least one organic alkalizing agent having a fatty acid ester structure
20 which shows alkalinity in aqueous solution and is ionically bonded to the polar active substance.

3. The pharmaceutical composition according to claim 1 or 2, wherein the polar active substance is at least one selected from cephaloridine, ceftiofur,
25 cefixime, cefepime, cefoperazone, cefotaxime, ceftazidime, ceftriaxone, moxalactam, gentamicin, aztreonam, amikacin, isepamycin, netilmicin, tobramycin, vancomycin, daptomycin, teicoplanin, polymixin-B, bacitracin, heparin, parathyroid hormone, growth hormone and insulin.

4. The pharmaceutical composition according to claim 1, wherein the
30 organic alkalizing agent having an amino acid structure is at least one selected from amino acids, amino acid derivatives and peptides; and the organic alkalizing agent having a polyol structure is at least one selected from alkaline saccharides, their oligomers and polymers prepared from 20 or fewer alkaline saccharides as
35 monomers, and saccharide-like compounds.

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5. The pharmaceutical composition according to claim 1, wherein the surfactant is at least one selected from sugar fatty acid esters, saccharin fatty acid esters, glycerol fatty acid esters, propylene glycol fatty acid esters, polyethylene glycol fatty acid esters, sorbitan fatty acid esters and polysorbitan fatty acid esters.

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6. The pharmaceutical composition according to claim 2, wherein the organic alkalizing agent having a fatty acid ester structure is at least one alkaline substances prepared from the dehydration between a hydroxyl group (-OH) of a fatty acid ester and a carboxyl group (-COOH) of an amphoteric compound having both an amine group (-NH₂) and a carboxyl group (-COOH).

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7. The pharmaceutical composition according to claim 1 or 2, wherein the active substance and the organic alkalizing agent are present in a charge ratio of 10:1~1:10.

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8. The pharmaceutical composition according to claim 1 or 2, wherein the polar active substance has at least one anionic moiety and has a partition coefficient (Log P) of 1.5 or lower.

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9. The pharmaceutical composition according to claim 1 or 2, wherein the polar active substance and the organic alkalizing agent are combined with each other to form a hydrophobic conjugate having a size of 10nm to 100µm in water phase.

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10. The pharmaceutical composition according to claim 1 or 2, further comprising at least one pharmaceutically acceptable excipient selected from disintegrating agents, suspending agents, thickening agents, lubricating agents, sweetening agents, plasticizers and preservatives.

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11. The pharmaceutical composition according to claim 1 or 2, wherein the active substance forms a hydrophobic conjugate by intestinal juices after orally administered in the solid states.

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12. The pharmaceutical composition according to claim 1 or 2, wherein the composition is formulated into syrups, dry syrups, powdery granules, tablets

or capsules.

13. The pharmaceutical composition according to claim 11, wherein the composition is enteric coated when the active substance is unstable to gastric acid.

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